ABSTRACT

A compound of Formula I, providing tetrapartate prodrugs is provided

(I)
$$R_{11} = \begin{bmatrix} R_9 \\ C \\ R_{10} \\ R_{10} \end{bmatrix}_m \begin{bmatrix} Y_3 \\ Y_2 \\ R_6 \end{bmatrix}_t Y_2 = \begin{bmatrix} R_2 \end{bmatrix}_r \\ R_1 \\ C \\ R_4 \\ R_5 \end{bmatrix}_u Y_4$$

wherein:

 L_1 is a bifunctional linking moiety;

D is a moiety that is a leaving group, or a residue of a compound to be delivered into a cell;

Z is covalently linked to [D]_y, wherein Z is selected from the group consisting of: a moiety that is actively transported into a target cell, a hydrophobic moiety, and combinations thereof;

 Y_1 , Y_2 , Y_3 and Y_4 are each independently O, S, or NR_{12} ;

R₁₁ is a mono- or divalent polymer residue;

 R_1 , R_4 , R_9 , R_{10} and R_{12} are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{3-12} branched alkyls, C_{3-8} cycloalkyls, C_{1-6} substituted alkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, C_{1-6} heteroalkyls and substituted C_{1-6} heteroalkyls;

 R_2 , R_3 , R_5 and R_6 are independently selected from the group consisting of hydrogen, C_{1-6} alkyls, C_{1-6} alkoxy, phenoxy, C_{1-8} heteroalkyls, C_{1-8} heteroalkoxy, substituted C_{1-6} alkyls, C_{3-8} cycloalkyls, C_{3-8} substituted cycloalkyls, aryls, substituted aryls, aralkyls, halo-, nitro- and cyano-, carboxy-, C_{1-6} carboxyalkyls and C_{1-6} alkylcarbonyls;

Ar is a moiety which when included in Formula (I) forms a multi-substituted aromatic hydrocarbon or a multi-substituted heterocyclic group;

(m), (r), (s), (t), and (u) are independently zero or one;

(p) is zero or a positive integer; and (y) is 1 or 2; together with methods of preparing and using these new tetrapartate prodrugs.